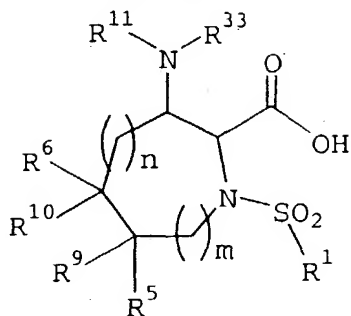


WHAT IS CLAIMED IS:

1. A compound of formula



5 or a pharmaceutically acceptable salt thereof, wherein

m is 1 or 2; and n is 0, 1 or 2;

R¹ is (1) an alkyl, alkenyl, alkynyl, cycloalkyl or
 10 heterocyclyl radical optionally substituted by 1-3
 radicals of -OH, -OR³, -SR³, -S(O)R³, -S(O)₂R³, -C(O)R³,
 -NR³R⁴, aryl, heteroaryl, cycloalkyl or heterocyclyl; or
 (2) an aryl radical optionally substituted by an
 optionally substituted monocyclic heteroaryl or
 15 heterocyclyl radical of 5-6 ring members which is
 optionally substituted by a phenyl radical or monocyclic
 heteroaryl radical of 5-6 ring members; or (3) a
 heteroaryl radical optionally substituted by an
 optionally substituted phenyl or a monocyclic heteroaryl
 20 or heterocyclyl radical of 5-6 ring members which is
 optionally substituted by a phenyl radical or monocyclic
 heteroaryl radical of 5-6 ring members; wherein the
 phenyl, aryl, heteroaryl, cycloalkyl and heterocyclyl
 radicals of (1), (2) and (3) are optionally substituted
 25 by 1-3 radicals of hydroxy, -OR³, -SR³, -S(O)R³,
 -S(O)₂R³, -C(O)R³, -NR³R⁴, amino, alkanoylamino,
 alkylsulfonylamino, alkoxycarbonylamino, alkoxycarbonyl,
 cyano, halo, azido, alkyl or haloalkyl; provided that

the total number of phenyl, aryl, heteroaryl, cycloalkyl and heterocyclyl radicals in R^1 is 0-3;

wherein each R^3 is independently an alkyl, haloalkyl, aryl, heteroaryl, aryl-alkyl or heteroaryl-alkyl radical, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of hydroxy, alkoxy, alkylthiol, amino, alkanoylamino, alkylsulfonylamino, alkylsulfinyl, alkylsulfonyl, alkoxycarbonylamino, alkoxycarbonyl, cyano, halo, azido, alkyl, haloalkyl or haloalkoxy; and each R^4 is independently a hydrogen or alkyl radical;

R^{11} is a $-C(O)-R^{31}$, $-C(O)-OR^{30}$, $-C(O)-NR^{32}R^{31}$, $-S(O)_2-R^{30}$ or $-S(O)_2-NR^{32}R^{31}$ radical;

R^5 and R^6 are each independently a hydrogen or alkyl radical; or CR^5-CR^6 is $C=C$;

wherein R^9 and R^{10} are each independently $-B-A$, provided that the combined total number of aryl, heteroaryl, cycloalkyl and heterocyclyl radicals in R^9 , R^{10} and R^{11} is 0-3;

wherein each B is independently a
 (1) bond;
 (2) alkyl, alkenyl or alkynyl radical optionally substituted by (a) 1-3 radicals of amino, alkylamino, dialkylamino, alkanoylamino, alkoxycarbonylamino, alkylsulfonylamino, hydroxy, alkoxy, alkylthio, cyano or halo, and/or (b) 1-2 radicals of heterocyclyl, aryl or heteroaryl optionally substituted by 1-3 radicals of amino, alkylamino, dialkylamino, alkanoylamino, alkoxycarbonylamino, alkylsulfonylamino, hydroxy,

alkoxy, alkylthio, cyano, halo, alkyl, haloalkyl or haloalkoxy;

(3) heterocyclyl radical optionally substituted by 1-3 radicals of amino, alkylamino, dialkylamino,

5 alkanoylamino, alkoxycarbonylamino, alkylsulfonylamino, hydroxy, alkoxy, alkylthio, cyano, alkyl, haloalkyl or haloalkoxy; or

(4) aryl or heteroaryl radical optionally substituted by 1-3 radicals of amino, alkylamino, dialkylamino,

10 alkanoylamino, alkoxycarbonylamino, alkylsulfonylamino, hydroxy, alkoxy, alkylthio, cyano, halo, alkyl, haloalkyl or haloalkoxy;

each A is independently a

15 (1) hydrogen radical;

(2) halo, cyano or nitro radical;

(3) $-C(O)-R^{30}$, $-C(O)-OR^{31}$, $-C(O)-NR^{32}R^{31}$ or $-C(NR^{32})-NR^{32}R^{31}$ radical;

(4) $-OR^{31}$, $-O-C(O)-R^{31}$, $-O-C(O)-NR^{32}R^{31}$ or $-O-C(O)-NR^{33}-$

20 $S(O)_2-R^{30}$ radical;

(5) $-SR^{31}$, $-S(O)-R^{30}$, $-S(O)_2-R^{30}$, $-S(O)_2-NR^{32}R^{31}$, $-S(O)_2-NR^{33}-C(O)-R^{31}$, $-S(O)_2-NR^{33}-C(O)-OR^{30}$ or $-S(O)_2-NR^{33}-C(O)-NR^{32}R^{31}$ radical; or

(6) $-NR^{32}R^{31}$, $-NR^{33}-C(O)-R^{31}$, $-NR^{33}-C(O)-OR^{30}$, $-NR^{33}-C(O)-NR^{32}R^{31}$, $-NR^{33}-C(NR^{32})-NR^{32}R^{31}$, $-NR^{33}-S(O)_2-R^{30}$ or $-NR^{33}-S(O)_2-NR^{32}R^{31}$ radical;

wherein each R^{30} is independently

(1) alkyl, alkenyl or alkynyl radical optionally

30 substituted by 1-3 radicals of $-CO_2R^{34}$, amino,

alkylamino, dialkylamino, alkanoylamino,

alkoxycarbonylamino, N-(alkoxycarbonyl)-N-(alkyl)amino,

aminocarbonylamino, alkylsulfonylamino, hydroxy, alkoxy,

- alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, halo or
 aralkoxy, arylalkylthio, arylalkylsulfonyl, cycloalkyl,
 heterocyclyl, aryl or heteroaryl radicals, wherein the
 cycloalkyl, heterocyclyl, aryl and heteroaryl radicals
 5 are optionally substituted by 1-3 radicals of amino,
 alkylamino, dialkylamino, alkanoylamino,
 alkoxycarbonylamino, alkylsulfonylamino, alkanoyl,
 alkoxycarbonyl, hydroxy, alkoxy, alkylthio,
 alkylsulfinyl, alkylsulfonyl, cyano, halo, alkyl,
 10 haloalkyl or haloalkoxy;
 (2) heterocyclyl radical optionally substituted by 1-3
 radicals of amino, alkylamino, dialkylamino,
 alkanoylamino, alkoxycarbonylamino, alkylsulfonylamino,
 alkoxycarbonyl, hydroxy, alkoxy, alkylthio, cyano,
 15 alkyl, haloalkyl or haloalkoxy; or
 (3) aryl or heteroaryl radical optionally substituted by
 1-3 radicals of amino, alkylamino, dialkylamino,
 alkanoylamino, alkoxycarbonylamino, alkylsulfonylamino,
 alkoxycarbonyl, hydroxy, alkoxy, alkylthio, cyano, halo,
 20 azido, alkyl, haloalkyl or haloalkoxy;

each R^{31} is independently hydrogen radical or R^{30} ;

wherein each R^{32} is independently

- 25 (1) hydrogen radical;
 (2) alkyl, alkenyl or alkynyl radical optionally
 substituted by 1-3 radicals of amino, alkylamino,
 dialkylamino, hydroxy, alkoxy, alkylthio, cyano or halo;
 or
 30 (3) aryl, heteroaryl, arylalkyl, heteroarylalkyl,
 heterocyclyl, heterocyclylalkyl, cycloalkyl or
 cycloalkylalkyl radicals optionally substituted by 1-3
 radicals of amino, alkylamino, dialkylamino, hydroxy,
 alkoxy, alkylthio, cyano, alkyl, haloalkyl or
 35 haloalkoxy; and

each R^{33} is independently

- (1) hydrogen radical;
- (2) alkyl radical optionally substituted by a radical of heterocyclyl, aryl or heteroaryl which is optionally substituted by 1-3 radicals of amino, alkylamino, dialkylamino, alkanoylamino, alkoxycarbonylamino, alkylsulfonylamino, hydroxy, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, halo, alkyl, haloalkyl or haloalkoxy; or
- (3) heterocyclyl, aryl or heteroaryl radical optionally substituted by 1-3 radicals of amino, alkylamino, dialkylamino, alkanoylamino, alkoxycarbonylamino, alkylsulfonylamino, hydroxy, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, halo, alkyl, haloalkyl or haloalkoxy; and

each R^{34} is independently hydrogen, alkyl, aryl, heteroaryl, arylalkyl or heteroarylalkyl radical, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of amino, alkylamino, dialkylamino, alkanoylamino, alkoxycarbonylamino, alkylsulfonylamino, hydroxy, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, halo, alkyl, haloalkyl or haloalkoxy.

25

2. The compound of Claim 1 or a pharmaceutically acceptable salt thereof, wherein

- R^1 is (1) an C_1 - C_{12} alkyl, C_2 - C_{12} alkenyl, C_2 - C_{12} alkynyl, cycloalkyl or heterocyclyl radical optionally substituted by 1-3 radicals of $-OH$, $-OR^3$, $-SR^3$, $-S(O)R^3$, $-S(O)_2R^3$, $-C(O)R^3$, $-NR^3R^4$, aryl, heteroaryl, cycloalkyl or heterocyclyl; or (2) an aryl radical optionally substituted by an optionally substituted monocyclic

35

heteroaryl or heterocyclyl radical of 5-6 ring members which is optionally substituted by a phenyl radical or monocyclic heteroaryl radical of 5-6 ring members; or (3) a heteroaryl radical optionally substituted by an optionally substituted phenyl or a monocyclic heteroaryl or heterocyclyl radical of 5-6 ring members which is optionally substituted by a phenyl radical or monocyclic heteroaryl radical of 5-6 ring members; wherein the phenyl, aryl, heteroaryl, cycloalkyl and heterocyclyl radicals of (1), (2) and (3) are optionally substituted by 1-3 radicals of hydroxy, $-OR^3$, $-SR^3$, $-S(O)R^3$, $-S(O)_2R^3$, $-C(O)R^3$, $-NR^3R^4$, amino, C_1-C_8 alkanoylamino, C_1-C_8 alkylsulfonylamino, C_1-C_8 alkoxycarbonylamino, C_1-C_8 alkoxycarbonyl, cyano, halo, azido, C_1-C_8 alkyl or C_1-C_8 haloalkyl of 1-3 halo radicals; provided that the total number of phenyl, aryl, heteroaryl, cycloalkyl and heterocyclyl radicals in R^1 is 0-3;

wherein each R^3 is independently a C_1-C_8 alkyl, C_1-C_8 haloalkyl of 1-3 halo radicals, aryl, heteroaryl, aryl- C_1-C_4 -alkyl or heteroaryl- C_1-C_4 -alkyl radical, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of hydroxy, C_1-C_4 alkoxy, C_1-C_4 alkylthiol, amino, C_1-C_8 alkanoylamino, C_1-C_8 alkylsulfonylamino, C_1-C_4 alkylsulfinyl, C_1-C_4 alkylsulfonyl, C_1-C_8 alkoxycarbonylamino, C_1-C_8 alkoxycarbonyl, cyano, halo, azido, C_1-C_8 alkyl, C_1-C_8 haloalkyl of 1-3 halo radicals or C_1-C_8 haloalkoxy of 1-3 halo radicals; and each R^4 is independently a hydrogen or C_1-C_8 alkyl radical;

R^{11} is a $-C(O)-R^{31}$, $-C(O)-OR^{30}$, $-C(O)-NR^{32}R^{31}$, $-S(O)_2-R^{30}$ or $-S(O)_2-NR^{32}R^{31}$ radical;

R^5 and R^6 are each independently a hydrogen or C_1 - C_4 alkyl radical; or CR^5-CR^6 is $C=C$;

- 5 wherein R^9 and R^{10} are each independently -B-A, provided that the combined total number of aryl, heteroaryl, cycloalkyl and heterocyclyl radicals in R^9 , R^{10} and R^{11} is 0-3;
- 10 wherein each B is independently a
 - (1) bond;
 - (2) C_1 - C_8 alkyl, C_2 - C_8 alkenyl or C_2 - C_8 alkynyl radical optionally substituted by (a) 1-3 radicals of amino, C_1 - C_4 alkylamino, di- $(C_1$ - C_4 alkyl)amino, C_1 - C_5 alkanoylamino, $(C_1$ - C_4 alkoxy)carbonylamino, C_1 - C_4 alkylsulfonylamino, hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, cyano or halo, and/or (b) 1-2 radicals of heterocyclyl, aryl or heteroaryl optionally substituted by 1-3 radicals of amino, C_1 - C_4 alkylamino, di- $(C_1$ - C_4 alkyl)amino, C_1 - C_5 alkanoylamino, $(C_1$ - C_4 alkoxy)carbonylamino, C_1 - C_4 alkylsulfonylamino, hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, cyano, halo, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl of 1-3 halo radicals or C_1 - C_4 haloalkoxy of 1-3 halo radicals;
 - 25 (3) heterocyclyl radical optionally substituted by 1-3 radicals of amino, C_1 - C_4 alkylamino, di- $(C_1$ - C_4 alkyl)amino, C_1 - C_5 alkanoylamino, $(C_1$ - C_4 alkoxy)carbonylamino, C_1 - C_4 alkylsulfonylamino, hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, cyano, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl of 1-3 halo radicals or C_1 - C_4 haloalkoxy of 1-3 halo radicals; or
 - 30 (4) aryl or heteroaryl radical optionally substituted by 1-3 radicals of amino, C_1 - C_4 alkylamino, di- $(C_1$ - C_4 alkyl)amino, C_1 - C_5 alkanoylamino, $(C_1$ - C_4

alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano, halo, C₁-C₄ alkyl, C₁-C₈ haloalkyl of 1-3 halo radicals or C₁-C₈ haloalkoxy of 1-3 halo radicals;

5

each A is independently a

(1) hydrogen radical;

(2) halo, cyano or nitro radical;

(3) $-C(O)-R^{30}$, $-C(O)-OR^{31}$, $-C(O)-NR^{32}R^{31}$ or $-C(NR^{32})-NR^{32}R^{31}$

10 radical;

(4) $-OR^{31}$, $-O-C(O)-R^{31}$, $-O-C(O)-NR^{32}R^{31}$ or $-O-C(O)-NR^{33}-S(O)_2-R^{30}$ radical;

(5) $-SR^{31}$, $-S(O)-R^{30}$, $-S(O)_2-R^{30}$, $-S(O)_2-NR^{32}R^{31}$, $-S(O)_2-NR^{33}-C(O)-R^{31}$, $-S(O)_2-NR^{33}-C(O)-OR^{30}$ or $-S(O)_2-NR^{33}-C(O)-$

15 $NR^{32}R^{31}$ radical; or

(6) $-NR^{32}R^{31}$, $-NR^{33}-C(O)-R^{31}$, $-NR^{33}-C(O)-OR^{30}$, $-NR^{33}-C(O)-NR^{32}R^{31}$, $-NR^{33}-C(NR^{32})-NR^{32}R^{31}$, $-NR^{33}-S(O)_2-R^{30}$ or $-NR^{33}-$

$S(O)_2-NR^{32}R^{31}$ radical;

20 wherein each R^{30} is independently

(1) C₁-C₈ alkyl, C₂-C₈ alkenyl or C₂-C₈ alkynyl radical optionally substituted by 1-3 radicals of $-CO_2R^{34}$, amino, C₁-C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, N-((C₁-C₄

25 alkoxy)carbonyl)-N-(C₁-C₄ alkyl)amino,

aminocarbonylamino, C₁-C₄ alkylsulfonylamino, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, cyano, halo, aryl-C₁-C₄-alkoxy, aryl-C₁-C₄-alkylthio, aryl-C₁-C₄-alkylsulfonyl, C₃-C₈ cycloalkyl,

30 heterocyclyl, aryl or heteroaryl radicals, wherein the cycloalkyl, heterocyclyl, aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of amino, C₁-

- C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, C₁-C₅ alkanoyl, (C₁-C₄ alkoxy)carbonyl, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, cyano, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals;
- (2) heterocyclyl radical optionally substituted by 1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, (C₁-C₄ alkoxy)carbonyl, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano, C₁-C₄ alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals; or
- (3) aryl or heteroaryl radical optionally substituted by 1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, (C₁-C₄ alkoxy)carbonyl, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano, halo, azido, C₁-C₄ alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals;

each R³¹ is independently hydrogen radical or R³⁰;

- wherein each R³² is independently
- (1) hydrogen radical;
 - (2) C₁-C₈ alkyl, C₂-C₈ alkenyl or C₂-C₈ alkynyl radical optionally substituted by 1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄-alkyl)amino, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano or halo; or
 - (3) aryl, heteroaryl, aryl-C₁-C₄-alkyl, heteroaryl-C₁-C₄-alkyl, heterocyclyl, heterocyclyl-C₁-C₄-alkyl, C₃-C₈ cycloalkyl or C₃-C₈-cycloalkyl-C₁-C₄-alkyl radical optionally substituted by 1-3 radicals of amino, C₁-C₄

alkylamino, di-(C₁-C₄-alkyl)amino, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano, C₁-C₄ alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals; and

5

each R³³ is independently

- (1) hydrogen radical;
- (2) C₁-C₄ alkyl radical optionally substituted by a radical of heterocyclyl, aryl or heteroaryl which is optionally substituted by 1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, cyano, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals; or
- (3) heterocyclyl, aryl or heteroaryl radical optionally substituted by 1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, cyano, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals; and

25

- each R³⁴ is independently hydrogen or C₁-C₄ alkyl, aryl, heteroaryl, aryl-C₁-C₄-alkyl or heteroaryl-C₁-C₄-alkyl radical, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, cyano, halo, C₁-C₄

30

alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals; and

wherein cycloalkyl is a monocyclic, bicyclic or
 5 tricyclic carbocyclic alkyl radical of 3-10 ring
 members, which is optionally partially unsaturated or
 benzo-fused; heterocyclyl is a radical of a monocyclic
 or bicyclic saturated heterocyclic ring system having 5-
 8 ring members per ring, wherein 1-3 ring members are
 10 oxygen, sulfur or nitrogen heteroatoms, which is
 optionally partially unsaturated or benzo-fused and
 optionally substituted by 1-2 oxo or thioxo radicals;
 aryl is a phenyl, biphenyl or naphthyl radical; and
 heteroaryl is a radical of a monocyclic or bicyclic
 15 aromatic heterocyclic ring system having 5-6 ring
 members per ring, wherein 1-3 ring members are oxygen,
 sulfur or nitrogen heteroatoms, which is optionally
 benzo-fused or saturated C₃-C₄-carbocyclic-fused.

20

3. The compound of Claim 2 or a pharmaceutically acceptable salt thereof, wherein

R¹ is (1) a C₁-C₁₂ alkyl, C₂-C₁₂ alkenyl, C₂-C₁₂ alkynyl,
 25 cycloalkyl or heterocyclyl radical optionally
 substituted by 1-3 radicals of -OH, -OR³, -SR³, -S(O)R³,
 -S(O)₂R³, -C(O)R³, -NR³R⁴, aryl, heteroaryl, cycloalkyl
 or heterocyclyl; or (2) an aryl radical optionally
 substituted by an optionally substituted monocyclic
 30 heteroaryl or heterocyclyl radical of 5-6 ring members
 which is optionally substituted by a phenyl radical or
 monocyclic heteroaryl radical of 5-6 ring members; or
 (3) a heteroaryl radical optionally substituted by an
 optionally substituted phenyl or a monocyclic heteroaryl
 35 or heterocyclyl radical of 5-6 ring members which is
 optionally substituted by a phenyl radical or monocyclic

heteroaryl radical of 5-6 ring members; wherein the phenyl, aryl, heteroaryl, cycloalkyl and heterocyclyl radicals of (1), (2) and (3) are optionally substituted by 1-3 radicals of hydroxy, $-OR^3$, $-SR^3$, $-S(O)R^3$,

- 5 $-S(O)_2R^3$, $-C(O)R^3$, $-NR^3R^4$, amino, C_1 - C_4 alkanoylamino, C_1 - C_4 alkylsulfonylamino, C_1 - C_4 alkoxycarbonylamino, C_1 - C_4 alkoxycarbonyl, cyano, halo, azido, C_1 - C_6 alkyl or C_1 - C_4 haloalkyl of 1-3 halo radicals; provided that the total number of phenyl, aryl, heteroaryl, cycloalkyl and
10 heterocyclyl radicals in R^1 is 0-3;

- wherein each R^3 is independently a C_1 - C_4 alkyl, C_1 - C_4 haloalkyl of 1-3 halo radicals, aryl, heteroaryl, aryl- C_1 - C_4 -alkyl or heteroaryl- C_1 - C_4 -alkyl radical, wherein
15 the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthiol, amino, C_1 - C_4 alkanoylamino, C_1 - C_4 alkylsulfonylamino, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkoxycarbonylamino, C_1 - C_4
20 alkoxycarbonyl, cyano, halo, azido, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl of 1-3 halo radicals or C_1 - C_4 haloalkoxy of 1-3 halo radicals; and each R^4 is independently a hydrogen or C_1 - C_4 alkyl radical;

- 25 wherein each B is independently a
(1) bond;
(2) C_1 - C_8 alkyl radical optionally substituted by (a) a radical of amino, C_1 - C_4 alkylamino, di- $(C_1$ - C_4 alkyl)amino, C_1 - C_5 alkanoylamino, $(C_1$ - C_4 alkoxy)carbonylamino, C_1 - C_4 alkylsulfonylamino, hydroxy,
30 C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, cyano, and/or (b) 1-3 halo radicals, and/or (c) 1-2 radicals of heterocyclyl, aryl or heteroaryl optionally substituted by 1-3 radicals of amino, C_1 - C_4 alkylamino, di- $(C_1$ - C_4

- alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals;
- (3) heterocyclyl radical; or
- (4) aryl or heteroaryl radical optionally substituted by 1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals;
- wherein each R³⁰ is independently
- (1) C₁-C₆ alkyl radical optionally substituted by 1-3 radicals of -CO₂R³⁴, amino, C₁-C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, N-((C₁-C₄ alkoxy)carbonyl)-N-(C₁-C₄ alkyl)amino, aminocarbonylamino, C₁-C₄ alkylsulfonylamino, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, cyano, halo, aryl-C₁-C₄-alkoxy, aryl-C₁-C₄-alkylthio, aryl-C₁-C₄-alkylsulfonyl, C₃-C₈ cycloalkyl, heterocyclyl, aryl or heteroaryl radicals, wherein the cycloalkyl, heterocyclyl, aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, C₁-C₅ alkanoyl, (C₁-C₄ alkoxy)carbonyl, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, cyano, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals;

(2) heterocyclyl radical optionally substituted by 1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, (C₁-C₄ alkoxy)carbonyl, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano, C₁-C₄ alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals; or

(3) aryl or heteroaryl radical optionally substituted by 1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, (C₁-C₄ alkoxy)carbonyl, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano, halo, azido, C₁-C₄ alkyl, C₁-C₄ haloalkyl of 1-3 halo radicals or C₁-C₄ haloalkoxy of 1-3 halo radicals;

each R³¹ is independently hydrogen radical or R³⁰;

wherein each R³² is independently hydrogen or C₁-C₄ alkyl radical;

each R³³ is independently hydrogen or C₁-C₄ alkyl radical; and

each R³⁴ is independently hydrogen or C₁-C₄ alkyl radical.

4. The compound of Claim 3 or a pharmaceutically acceptable salt thereof, wherein

R¹ is (1) a C₁-C₁₂ alkyl radical optionally substituted by 1-3 radicals of -OH, -OR³, -SR³, -S(O)R³, -S(O)₂R³, -C(O)R³, -NR³R⁴, aryl, heteroaryl, cycloalkyl or

heterocyclyl; or (2) an aryl radical optionally substituted by an optionally substituted monocyclic heteroaryl or heterocyclyl radical of 5-6 ring members which is optionally substituted by a phenyl radical or
 5 monocyclic heteroaryl radical of 5-6 ring members; or (3) a heteroaryl radical optionally substituted by an optionally substituted phenyl or a monocyclic heteroaryl or heterocyclyl radical of 5-6 ring members which is optionally substituted by a phenyl radical or monocyclic
 10 heteroaryl radical of 5-6 ring members; wherein the phenyl, aryl, heteroaryl, cycloalkyl and heterocyclyl radicals of (1), (2) and (3) are optionally substituted by 1-3 radicals of hydroxy, $-OR^3$, $-SR^3$, $-S(O)R^3$, $-S(O)_2R^3$, $-C(O)R^3$, $-NR^3R^4$, amino, acetylamino,
 15 methylsulfonylamino, C_1 - C_4 alkoxy-carbonylamino, C_1 - C_4 alkoxy-carbonyl, cyano, halo, C_1 - C_6 alkyl or $-CF_3$ radicals; provided that the total number of phenyl, aryl, heteroaryl, cycloalkyl and heterocyclyl radicals in R^1 is 0-3;

20

wherein each R^3 is independently an C_1 - C_4 alkyl, $-CF_3$, aryl, heteroaryl, aryl- C_1 - C_4 -alkyl or heteroaryl- C_1 - C_4 -alkyl radical, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of hydroxy,
 25 C_1 - C_4 alkoxy, C_1 - C_4 alkylthiol, amino, acetylamino, methylsulfonylamino, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkoxy-carbonylamino, C_1 - C_4 alkoxy-carbonyl, cyano, halo, C_1 - C_4 alkyl, $-CF_3$ or $-OCF_3$; and each R^4 is independently a hydrogen or methyl radical;

30

wherein each B is independently a

(1) bond;

(2) C_1 - C_8 alkyl radical optionally substituted by (a) a radical of amino, C_1 - C_4 alkylamino, di- $(C_1$ - C_4

- alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄
alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, hydroxy,
C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano, and/or (b) 1-3
halo radicals, and/or (c) 1-2 radicals of heterocyclyl,
5 aryl or heteroaryl optionally substituted by 1-3
radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄
alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄
alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, hydroxy,
C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano, halo, C₁-C₄ alkyl,
10 -CF₃ or -OCF₃ radicals;
(3) heterocyclyl radical; or
(4) aryl or heteroaryl radical optionally substituted by
1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄
alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄
15 alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, hydroxy,
C₁-C₄ alkoxy, C₁-C₄ alkylthio, cyano, halo, C₁-C₄ alkyl,
-CF₃ or -OCF₃ radicals;

each A is independently a

- 20 (1) hydrogen radical;
(2) halo, cyano or nitro radical;
(3) -C(O)-R³⁰, -C(O)-OR³¹, -C(O)-NR³²R³¹ or -C(NR³²)-NR³²R³¹
radical;
(4) -OR³¹, -O-C(O)-R³¹ or -O-C(O)-NR³²R³¹ radical;
25 (5) -SR³¹, -S(O)-R³⁰, -S(O)₂-R³⁰ or -S(O)₂-NR³²R³¹ radical;
or
(6) -NR³²R³¹, -NR³³-C(O)-R³¹, -NR³³-C(O)-OR³⁰, -NR³³-C(O)-
NR³²R³¹, -NR³³-C(NR³²)-NR³²R³¹, -NR³³-S(O)₂-R³⁰ or -NR³³-
S(O)₂-NR³²R³¹ radical;

30

wherein each R³⁰ is independently

- (1) C₁-C₆ alkyl radical optionally substituted by 1-3
radicals of -CO₂R³⁴, amino, C₁-C₄ alkylamino, di-(C₁-C₄

- alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄
 alkoxy)carbonylamino, N-((C₁-C₄ alkoxy)carbonyl)-N-(C₁-
 C₄ alkyl)amino, aminocarbonylamino, C₁-C₄
 alkylsulfonylamino, hydroxy, C₁-C₄ alkoxy, C₁-C₄
 5 alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl,
 cyano, halo, aryl-C₁-C₄-alkoxy, aryl-C₁-C₄-alkylthio,
 aryl-C₁-C₄-alkylsulfonyl, C₃-C₈ cycloalkyl,
 heterocyclyl, aryl or heteroaryl radicals, wherein the
 cycloalkyl, heterocyclyl, aryl and heteroaryl radicals
 10 are optionally substituted by 1-3 radicals of amino, C₁-
 C₄ alkylamino, di-(C₁-C₄ alkyl)amino, C₁-C₅
 alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₄
 alkylsulfonylamino, C₁-C₅ alkanoyl, (C₁-C₄
 alkoxy)carbonyl, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio,
 15 C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, cyano, halo,
 C₁-C₄ alkyl, -CF₃ or -OCF₃ radicals;
 (2) heterocyclyl radical optionally substituted by 1-3
 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄
 alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄
 20 alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, (C₁-C₄
 alkoxy)carbonyl, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio,
 cyano, C₁-C₄ alkyl, C₁-C₂ haloalkyl of 1-3 halo radicals
 or -OCF₃; or
 (3) aryl or heteroaryl radical optionally substituted by
 25 1-3 radicals of amino, C₁-C₄ alkylamino, di-(C₁-C₄
 alkyl)amino, C₁-C₅ alkanoylamino, (C₁-C₄
 alkoxy)carbonylamino, C₁-C₄ alkylsulfonylamino, (C₁-C₄
 alkoxy)carbonyl, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio,
 cyano, halo, C₁-C₄ alkyl, -CF₃ or -OCF₃ radicals;
 30 each R³¹ is independently hydrogen radical or R³⁰; and
 each R³³ is independently a hydrogen or methyl radical.

5. The compound of Claim 4 or a pharmaceutically acceptable salt thereof, wherein R^{11} is a $-C(O)-R^{31}$ or $-S(O)_2-R^{30}$ radical; provided that the combined total number of aryl, heteroaryl, cycloalkyl and heterocyclyl radicals in R^9 , R^{10} and R^{11} is 0-2.

6. The compound of Claim 5 or a pharmaceutically acceptable salt thereof, wherein

R^1 is (1) an C_1-C_{12} alkyl radical optionally substituted by 1-3 radicals of $-OH$, $-OR^3$, $-SR^3$, $-S(O)_2R^3$, $-NR^3R^4$, aryl, heteroaryl, cycloalkyl or heterocyclyl; or (2) an aryl radical optionally substituted by an optionally substituted monocyclic heteroaryl or heterocyclyl radical of 5-6 ring members which is optionally substituted by a phenyl radical or monocyclic heteroaryl radical of 5-6 ring members; or (3) a heteroaryl radical optionally substituted by an optionally substituted phenyl or a monocyclic heteroaryl or heterocyclyl radical of 5-6 ring members which is optionally substituted by a phenyl radical or monocyclic heteroaryl radical of 5-6 ring members; wherein the phenyl, aryl, heteroaryl, cycloalkyl and heterocyclyl radicals of (1), (2) and (3) are optionally substituted by 1-3 radicals of hydroxy, $-OR^3$, $-SR^3$, $-S(O)_2R^3$, $-NR^3R^4$, amino, acetylamino, methylsulfonylamino, C_1-C_4 alkoxy carbonylamino, C_1-C_4 alkoxy carbonyl, cyano, halo, C_1-C_6 alkyl or $-CF_3$ radicals; provided that the total number of phenyl, aryl, heteroaryl, cycloalkyl and heterocyclyl radicals in R^1 is 0-2;

- wherein each R^3 is independently a C_1 - C_4 alkyl, $-CF_3$, aryl, heteroaryl, aryl- C_1 - C_2 -alkyl or heteroaryl- C_1 - C_2 -alkyl radical, wherein the aryl and heteroaryl radicals are optionally substituted by 1-2 radicals of hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthiol, amino, acetylamino, methylsulfonylamino, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkoxycarbonylamino, C_1 - C_4 alkoxycarbonyl, cyano, halo, C_1 - C_4 alkyl, $-CF_3$ or $-OCF_3$;
- 10 wherein each B is independently a
- (1) bond;
 - (2) C_1 - C_4 alkyl radical optionally substituted by (a) a radical of amino, C_1 - C_2 alkylamino, di- $(C_1$ - C_2 alkyl)amino, C_1 - C_2 alkanoylamino, $(C_1$ - C_4 alkoxy)carbonylamino, hydroxy, C_1 - C_2 alkoxy, and/or (b) 1-2 halo radicals, and/or (c) a radical of heterocyclyl, aryl or heteroaryl optionally substituted by 1-2 radicals of amino, C_1 - C_2 alkylamino, di- $(C_1$ - C_2 alkyl)amino, C_1 - C_2 alkanoylamino, $(C_1$ - C_4 alkoxy)carbonylamino, C_1 - C_2 alkylsulfonylamino, hydroxy, C_1 - C_2 alkoxy, C_1 - C_2 alkylthio, halo, C_1 - C_4 alkyl, $-CF_3$ or $-OCF_3$ radicals;
 - (3) heterocyclyl radical; or
 - (4) aryl or heteroaryl radical optionally substituted by 1-2 radicals of amino, C_1 - C_2 alkylamino, di- $(C_1$ - C_2 alkyl)amino, C_1 - C_2 alkanoylamino, $(C_1$ - C_4 alkoxy)carbonylamino, C_1 - C_2 alkylsulfonylamino, hydroxy, C_1 - C_2 alkoxy, C_1 - C_2 alkylthio, halo, C_1 - C_4 alkyl, $-CF_3$ or $-OCF_3$ radicals;
- 30 each A is independently a
- (1) hydrogen radical;
 - (2) halo radical;

- (3) $-C(O)-R^{30}$, $-C(O)-OR^{31}$, $-C(O)-NR^{32}R^{31}$ or $-C(NR^{32})-NR^{32}R^{31}$ radical;
- (4) $-OR^{31}$ radical;
- (5) $-SR^{31}$, $-S(O)_2-R^{30}$ or $-S(O)_2-NR^{32}R^{31}$ radical; or
- 5 (6) $-NR^{32}R^{31}$, $-NR^{33}-C(O)-R^{31}$, $-NR^{33}-C(O)-OR^{30}$, $-NR^{33}-C(O)-NR^{32}R^{31}$, $-NR^{33}-S(O)_2-R^{30}$ or $-NR^{33}-S(O)_2-NR^{32}R^{31}$ radical;

wherein each R^{30} is independently

- (1) $-CF_3$ or C_1-C_4 alkyl radical optionally substituted
- 10 by 1-2 radicals of $-CO_2R^{34}$, amino, C_1-C_2 alkylamino, di-
(C_1-C_2 alkyl)amino, C_1-C_2 alkanoylamino, (C_1-C_4
alkoxy)carbonylamino, N-((C_1-C_4 alkoxy)carbonyl)-N-(C_1-C_4
alkyl)amino, hydroxy, C_1-C_4 alkoxy, or aryl- C_1-C_2 -
alkoxy, heterocyclyl, aryl or heteroaryl radicals,
- 15 wherein the heterocyclyl, aryl and heteroaryl radicals
are optionally substituted by 1-3 radicals of amino, C_1-C_2
 C_2 alkylamino, di-(C_1-C_2 alkyl)amino, C_1-C_2
alkanoylamino, (C_1-C_4 alkoxy)carbonylamino, C_1-C_5
alkanoyl, (C_1-C_4 alkoxy)carbonyl, hydroxy, C_1-C_4 alkoxy,
- 20 halo, C_1-C_4 alkyl, $-CF_3$ or $-OCF_3$ radicals;
- (2) heterocyclyl radical optionally substituted by 1-2
radicals of (C_1-C_4 alkoxy)carbonyl, hydroxy or C_1-C_4
alkyl; or
- (3) aryl or heteroaryl radicals optionally substituted
- 25 by 1-2 radicals of amino, C_1-C_2 alkylamino, di-(C_1-C_2
alkyl)amino, C_1-C_2 alkanoylamino, hydroxy, C_1-C_2 alkoxy,
halo, C_1-C_4 alkyl, $-CF_3$ or $-OCF_3$ radicals;

each R^{31} is independently hydrogen radical or R^{30} ; and

30

wherein cycloalkyl is a monocyclic carbocyclic alkyl
radical of 3-6 ring members, which is optionally
partially unsaturated or benzo-fused; and heterocyclyl

is a radical of a monocyclic saturated heterocyclic ring system having 5-8 ring members per ring, wherein 1-3 ring members are oxygen, sulfur or nitrogen heteroatoms, which is optionally partially unsaturated or benzo-fused and optionally substituted by 1-2 oxo or thioxo radicals.

7. The compound of Claim 6 or a pharmaceutically acceptable salt thereof, wherein

R^1 is (1) an C_1 - C_4 alkyl radical substituted by 1-2 radicals of $-OH$, $-OR^3$, $-NR^3R^4$, aryl or heteroaryl; or (2) an aryl radical optionally substituted by a monocyclic heteroaryl radical of 5-6 ring members; or (3) a heteroaryl radical optionally substituted by a phenyl radical; wherein the phenyl, aryl and heteroaryl radicals of (1), (2) and (3) are optionally substituted by 1-2 radicals of hydroxy, $-OR^3$, $-SR^3$, $-S(O)_2R^3$, $-NR^3R^4$, amino, acetylamino, methylsulfonylamino, C_1 - C_4 alkoxy carbonylamino, C_1 - C_4 alkoxy carbonyl, halo, C_1 - C_6 alkyl or $-CF_3$ radicals; provided that the total number of phenyl, aryl and heteroaryl radicals in R^1 is 0-2;

wherein each R^3 is independently a C_1 - C_4 alkyl, $-CF_3$, aryl, heteroaryl, aryl- C_1 - C_2 -alkyl or heteroaryl- C_1 - C_2 -alkyl radical, wherein the aryl and heteroaryl radicals are optionally substituted by 1-2 radicals of hydroxy, C_1 - C_2 alkoxy, C_1 - C_2 alkylthiol, amino, acetylamino, methylsulfonylamino, C_1 - C_2 alkylsulfonyl, C_1 - C_4 alkoxy carbonylamino, C_1 - C_4 alkoxy carbonyl, halo, C_1 - C_2 alkyl, $-CF_3$ or $-OCF_3$;

wherein each B is independently a

- (1) bond;
 - (2) C₁-C₄ alkyl radical; or
 - (3) aryl or heteroaryl radical optionally substituted by a radical of amino, C₁-C₂ alkylamino, di-(C₁-C₂ alkyl)amino, C₁-C₂ alkanoylamino, (C₁-C₄ alkoxy)carbonylamino, C₁-C₂ alkylsulfonylamino, hydroxy, C₁-C₂ alkoxy, C₁-C₂ alkylthio, halo, C₁-C₄ alkyl, -CF₃ or -OCF₃ radicals;
- 10 each A is independently a
- (1) hydrogen radical;
 - (2) halo radical;
 - (3) -C(O)-R³⁰, -C(O)-NR³²R³¹ or -C(NR³²)-NR³²R³¹ radical;
 - (4) -OR³¹ radical;
- 15 (5) -SR³¹, -S(O)₂-R³⁰ or -S(O)₂-NR³²R³¹ radical; or
- (6) -NR³²R³¹, -NR³³-C(O)-R³¹ or -NR³³-S(O)₂-R³⁰ radical;

- wherein each R³⁰ is independently
- (1) heterocyclyl radical optionally substituted by 1-2 radicals of (C₁-C₄ alkoxy)carbonyl, hydroxy or C₁-C₄ alkyl; or
 - (2) heteroaryl radicals optionally substituted by 1-2 radicals of amino, C₁-C₂ alkylamino, di-(C₁-C₂ alkyl)amino, C₁-C₂ alkanoylamino, hydroxy, C₁-C₂ alkoxy,
- 25 halo, C₁-C₄ alkyl, -CF₃ or -OCF₃ radicals; and

- each R³¹ is independently hydrogen radical or
- (1) -CF₃ or C₁-C₄ alkyl radical optionally substituted by 1-2 radicals of hydroxy, C₁-C₂ alkoxy or aryl-C₁-C₂-alkoxy, aryl or heteroaryl radicals, wherein the aryl and heteroaryl radicals are optionally substituted by 1-2 radicals of amino, C₁-C₂ alkylamino, di-(C₁-C₂ alkyl)amino, C₁-C₂ alkanoylamino, (C₁-C₄
- 30

alkoxy)carbonylamino, C₁-C₅ alkanoyl, (C₁-C₄ alkoxy)carbonyl, hydroxy, C₁-C₄ alkoxy, halo, C₁-C₄ alkyl, -CF₃ or -OCF₃ radicals; or

- (2) aryl or heteroaryl radical optionally substituted by
 5 1-2 radicals of amino, C₁-C₂ alkylamino, di-(C₁-C₂ alkyl)amino, C₁-C₂ alkanoylamino, hydroxy, C₁-C₂ alkoxy, halo, C₁-C₄ alkyl, -CF₃ or -OCF₃ radicals.

- 10 8. The compound of Claim 7 or a pharmaceutically acceptable salt thereof, wherein

R¹ is aryl or heteroaryl radicals optionally substituted
 by 1-2 radicals of hydroxy, -OR³, -SR³, -S(O)₂R³, -NR³R⁴,
 15 amino, acetylamino, methylsulfonylamino, C₁-C₄ alkoxy carbonylamino, C₁-C₄ alkoxy carbonyl, halo, C₁-C₆ alkyl or -CF₃ radicals; provided that the total number of aryl and heteroaryl radicals in R¹ is 1-2;

- 20 wherein each R³ is independently a C₁-C₄ alkyl, -CF₃, aryl, heteroaryl, arylmethyl or heteroarylmethyl radical;

wherein each B is independently a

- 25 (1) bond;
 (2) C₁-C₄ alkyl radical; or
 (3) aryl or heteroaryl radical;

each A is independently a

- 30 (1) hydrogen radical;
 (2) halo radical; or
 (3) -C(O)-R³⁰ or -C(O)-NR³²R³¹ radical;

wherein each R^{30} is independently a heterocyclyl radical optionally substituted by C_1 - C_4 alkyl;

each R^{31} is independently hydrogen radical or

- 5 (1) $-CF_3$ or C_1 - C_4 alkyl radical optionally substituted by 1-2 radicals of aryl or heteroaryl radicals; or
 (2) aryl or heteroaryl radical; and

wherein each R^{32} is independently a hydrogen or methyl
 10 radical.

9. The compound of Claim 8 or a pharmaceutically acceptable salt thereof, wherein

15

R^1 is an aryl radical optionally substituted by 1-2 radicals of hydroxy, $-OR^3$, $-S(O)_2R^3$, $-NR^3R^4$, amino, acetylamino, methylsulfonylamino, halo, C_1 - C_4 alkyl or $-CF_3$ radicals; provided that the total number of aryl
 20 and heteroaryl radicals in R^1 is 1-2;

R^5 , R^6 , R^9 and R^{10} are each a hydrogen radical; or CR^5-CR^6 is $C=C$; and

25 wherein heterocyclyl is a radical of pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiamorpholinyl, 4-benzyl-piperazin-1-yl, pyrimidinyl, tetrahydrofuryl, pyrazolidonyl, pyrazolinyl, pyridazinonyl, pyrrolidonyl, tetrahydrothienyl or its sulfoxide or sulfone
 30 derivative, 2,3-dihydroindolyl, tetrahydroquinolinyl, 1,2,3,4-tetrahydroisoquinolinyl, 1,2,3,4-tetrahydro-1-oxo-isoquinolinyl, 2,3-dihydrobenzofuryl, benzopyranyl, methylenedioxyphenyl or ethylenedioxyphenyl; aryl is a phenyl, biphenyl or naphthyl radical; and heteroaryl is

a radical of imidazolyl, pyrrolyl, pyrazolyl, pyridyl, pyrazinyl, triazolyl, furyl, thienyl, oxazolyl, thiazolyl, indolyl, quinolinyl, isoquinolinyl, 5,6,7,8-tetrahydroquinolyl, 5,6,7,8-tetrahydroisoquinolinyl,
5 quinoxalinyl, benzothiazolyl, β -carbolinyl, benzofuryl, benzimidazolyl or benzoxazolyl.

10. The compound of Claim 9 or a pharmaceutically acceptable salt thereof, wherein

R^1 is a phenyl or biphenyl radical optionally substituted by 1-2 radicals of hydroxy, $-OR^3$, $-S(O)_2R^3$, $-NR^3R^4$, amino, acetyl amino, methylsulfonylamino, halo,
15 C_1 - C_4 alkyl or $-CF_3$ radicals; provided that the total number of aryl and heteroaryl radicals in R^1 is 1-2;

wherein each R^3 is independently an C_1 - C_4 alkyl, $-CF_3$, phenyl, heteroaryl, phenylmethyl or heteroaryl methyl
20 radical; and

wherein heterocyclyl is a radical of pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiamorpholinyl, 4-benzyl-piperazin-1-yl or pyrimidinyl; and heteroaryl
25 is a radical of imidazolyl, pyrrolyl, pyrazolyl, pyridyl, pyrazinyl, indolyl, quinolinyl, isoquinolinyl, benzothiazolyl, benzofuryl, benzimidazolyl or benzoxazolyl.

30

11. The compound of Claim 10 or a pharmaceutically acceptable salt thereof, wherein

R^1 is a phenyl or biphenyl radical optionally substituted by 1-2 radicals of hydroxy, $-OR^3$, halo, methyl or $-CF_3$ radicals; provided that the total number of aryl and heteroaryl radicals in R^1 is 1-2; and

5

wherein each R^3 is independently an methyl, $-CF_3$, phenyl, heteroaryl, phenylmethyl or heteroarylmethyl radical.

10

12. The compound of Claim 1 or a pharmaceutically acceptable salt thereof, which is

1-(4-Methoxy-benzenesulfonyl)-3-(2-amino-phenylmethane sulfonylamino)-1*H*-azepane-2-carboxylic acid;

15

1-(4-Methoxy-benzenesulfonyl)-3-(phenylmethanesulfonyl amino)-1*H*-azepane-2-carboxylic acid;

1-(4-Chlorophenyl-phenylsulfonyl)-3-(phenylmethane sulfonylamino)-2,3,4,7-tetrahydro-1*H*-azepine-2-carboxylic acid;

20

1-(4-Methoxy-benzenesulfonyl)-3-(2-nitrophenyl-methanesulfonylamino)-2,3,4,7-tetrahydro-1*H*-azepine-2-carboxylic acid;

1-(4-Methoxy-benzenesulfonyl)-3-(phenylacroylsulfonyl amino)-2,3,4,7-tetrahydro-1*H*-azepine-2-carboxylic acid;

25

3-(4-Chlorobenzoyloxycarbonylamino)-1-(4-methoxy-benzenesulfonyl)-2,3,4,7-tetrahydro-1*H*-azepine-2-carboxylic acid; or

3-(3,5-Dichlorobenzoyloxycarbonylamino)-1-(4-methoxy-benzenesulfonyl)-2,3,4,7-tetrahydro-1*H*-azepine-2-carboxylic acid.

30

13. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

35

14. A method for prophylaxis or treatment of inflammation comprising administering an effective amount of a compound of Claim 1.

15. A method for prophylaxis or treatment of inflammation comprising administering an effective amount of a composition of Claim 13.

5

16. A method for prophylaxis or treatment of connective tissue degradation comprising administering an effective amount of a compound of Claim 1.

10

17. A method for prophylaxis or treatment of connective tissue degradation comprising administering an effective amount of a composition of Claim 13.

18. A method of treating neuroinflammatory disorders or angiogenesis dependent diseases comprising administering an effective amount of a compound of Claim 1.

19. A method of treating neuroinflammatory disorders or angiogenesis dependent diseases comprising administering an effective amount of a composition of Claim 13.

20. A method of treating rheumatoid arthritis, osteoarthritis, osteopenias, periodontitis, gingivitis, corneal ulceration, epidermal ulceration, gastric ulceration, tumour metastasis, tumour invasion, tumour growth, myelin degradation, cancer, psoriasis, proliferative retinopathies, neovascular glaucoma, ocular tumours, angiofibromas, hemangiomas, nephritis, pulmonary inflammation or restenosis comprising administering an effective amount of a compound of Claim 1.

21. A method of treating rheumatoid arthritis, osteoarthritis, osteopenias, periodontitis, gingivitis, corneal ulceration, epidermal ulceration, gastric

ulceration, tumour metastasis, tumour invasion, tumour growth, myelin degradation, cancer, psoriasis, proliferative retinopathies, neovascular glaucoma, ocular tumours, angiofibromas, hemangiomas, nephritis, 5 pulmonary inflammation or restenosis comprising administering an effective amount of a composition of Claim 13.